

Claims

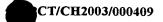
- A tripeptide or tetrapeptide or an alkyl ester thereof comprising a proteolytic enzyme cleavable
 amino acid moiety as a drug or pharmacologically active site or pharmacologically active group transport and delivery system.
- The tripeptide or tetrapeptide of claim 1, which is an alkyl ester with the alkyl group being a
 methyl or an ethyl group, preferably an ethyl group.
 - 3. The tripeptide or tetrapeptide of claim 1 or 2, wherein the proteolytic enzyme cleavable amino acid moiety is a not terminal moiety.
- 4. The tripeptide or tetrapeptide of anyone of the preceding claims comprising a not terminal optionally substituted phenylalanyl moiety.
 - 5. The tripeptide or tetrapeptide of anyone of the preceding claims that is selected from the group consisting of substituted or unsubstituted Phe-Phe-Pro, Pro-Phe-Phe, Phe-Phe-Ser, Ser-Phe-Phe, Phe-Phe-Asn, Asn-Phe-Phe, Phe-Gly-Phe-Val (Seq. Id. No. 1), Val-Phe-Gly-Phe (Seq. Id. No. 2), Phe-Arg-Phe-His (Seq. Id. No. 3), His-Phe-Arg-Phe (Seq. Id. No. 4), Phe-Arg-Val, Val-Arg-
- of the preceding claims, wherein the terminal Phe is fluoro substituted in para position, in particular the peptide Pro-Phe-p-F-Phe.

Phe, whereby Pro-Phe-Phe is preferred.

- 7. A tripeptide or tetrapeptide wherein the proteolytic enzyme cleavable amino acid moiety is substituted with a substituent sufficiently reactive to be useful in drug coupling reactions, with the proviso that said substituent is not -N(CH₂-CH₂-Cl)₂ in meta position on the not terminal Phe of Pro-Phe-p-F-Phe.
- 8. The tripeptide or tetrapeptide of claim 7 wherein the proteolytic enzyme cleavable amino acid moiety is or comprises Phe.

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- 9. Use of a tripeptide or tetrapeptide as defined in anyone of the preceding claims as substituent or part of a substituent of a drug, in particular a drug for the treatment of, arthritis, invasive parasitic diseases, Paludism (Malaria), AIDS, and tumours, especially cancer.
 - 10. A tripeptide or a tetrapeptide as defined in anyone of claims 1 to 8 that is connected to a drug or a pharmacologically active site or a pharmacologically active group, with the proviso that it is not prolyl-m-sarcolysyl-p-fluoro-phenylalanine.
 - 11. The tripeptide or tetrapeptide of claim 10 wherein the drug is adriamycin.
- 12. Use of the tripeptide or tetrapeptide of claim 10 or 11 for the preparation of a medicament for the treatment of cancer.
 - 13. Use of a tripeptide or tetrapeptide as defined in anyone of claims 1 to 8 that is connected to a drug or a pharmacologically active site or a
- pharmacologically active group for the preparation of a medicament for the treatment of arthritis, non cancerous tumours, invasive parasitic diseases, Paludism (Malaria), and AIDS.
- 14. A method for improving the efficiency of a drug and/or for reducing the side effects of a drug wherein said drug is coupled to or included in a transport system of one of claims 1 to 8.
 - 15. Use of a drug of claim 10 or 11 for the preparation of a medicament.
- 16. A pharmaceutical composition comprising a tripeptide or a tetrapeptide of claim 10 or 11.
 - 17. Method for the production of an active ingredient of a medicament comprising a transport and delivery system, wherein a drug or a pharmacologically active site or a pharmacologically active group is coupled with amino acids such that a tripeptide or a tetrapeptide as defined in one of claims 1 to 7 connected



to a drug or a pharmacologically active site or a pharmacologically active group is generated, with the proviso that the pharmacologically active group is not - $N(CH_2-CH_2-C1)_2$.

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